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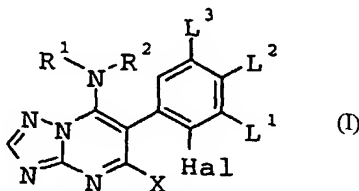
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ning of each regular issue of the PCT Gazette.

(54) Title: 6-(2-HALOGENPHENYL)-TRIAZOLOPYRIMIDINES DERIVATIVES AND THEIR USE AS FUNGICIDE



(I)

(57) Abstract: Substituted 6-(2-halogenphenyl)-triazolopyrimidines of formula (I) in which R<sup>1</sup> denote alkyl, alkenyl, alkynyl, alkadienyl, haloalkyl, haloalkenyl, cycloalkyl, phenyl, naphthyl, or a 5- or 6-membered saturated, unsaturated, or aromatic heterocycle, containing one to four nitrogen atoms or one to three nitrogen atoms and one sulfur or oxygen atom, wherein R<sup>1</sup> and R<sup>2</sup> radicals may be substituted as defined in the description, R<sup>2</sup> denote hydrogen, or a group mentioned for R<sup>1</sup>; or R<sup>1</sup> and R<sup>2</sup> together with the interjacent nitrogen atom represent a 5- or 6-membered heterocycle, containing one to four nitrogen atoms or one to three nitrogen atoms and one sulfur or oxygen atom, which ring may be substituted as defined in the description; Hal is halogen; L<sup>1</sup>, L<sup>3</sup> in-

dependently denote hydrogen, halogen, or alkyl; L<sup>2</sup> is hydrogen, halogen, haloalkyl, or NH<sub>2</sub>, NHR<sup>b</sup>, or N(R<sup>b</sup>)<sub>2</sub>, wherein R<sup>b</sup> is as defined in the description, wherein at least one from L<sup>1</sup>, L<sup>2</sup>, and L<sup>3</sup> is not hydrogen; X is halogen, cyano, alkyl, alkoxy, haloalkoxy or alkenyloxy processes for their preparation, compositions containing them and to their use for combating phytopathogenic fungi.

# INTERNATIONAL SEARCH REPORT

International Application No.

PCT/EP 03/12276

## A. CLASSIFICATION OF SUBJECT MATTER

IPC 7 C07D487/04 A01N43/90 C07C69/76

According to International Patent Classification (IPC) or to both national classification and IPC

## B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)

IPC 7 C07D A01N C07C

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the International search (name of data base and, where practical, search terms used)

EPO-Internal, WPI Data, CHEM ABS Data

## C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	WO 98 46608 A (AMERICAN CYANAMID CO) 22 October 1998 (1998-10-22) cited in the application page 1, line 2-5 examples 47,62 claims 1,8-10	1-10
X	FR 2 765 875 A (AMERICAN CYANAMID CO) 15 January 1999 (1999-01-15) page 1, line 1-6 claim 1	1-10
X	EP 0 550 113 A (SHELL INT RESEARCH) 7 July 1993 (1993-07-07) page 1, line 1-3 claim 1	1-10
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☒ Further documents are listed in the continuation of box C.

☒ Patent family members are listed in annex.

### \* Special categories of cited documents :

- \*A\* document defining the general state of the art which is not considered to be of particular relevance
- \*E\* earlier document but published on or after the International filing date
- \*L\* document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)
- \*O\* document referring to an oral disclosure, use, exhibition or other means
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- \*T\* later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention
- \*X\* document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone
- \*Y\* document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art.
- \*&\* document member of the same patent family

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## INTERNATIONAL SEARCH REPORT

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## C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	FR 2 784 991 A (AMERICAN CYANAMID CO) 28 April 2000 (2000-04-28)	1,3-10
A	claim 1 ----	2
X	US 5 986 135 A (COTTER HENRY VAN TUYL ET AL) 16 November 1999 (1999-11-16) column 1, line 1-10 examples 8,15,16 ----	1-10
A	FR 2 784 381 A (AMERICAN CYANAMID CO) 14 April 2000 (2000-04-14) claim 1 ----	1-10
A	EP 0 071 792 A (BASF AG) 16 February 1983 (1983-02-16) page 10, line 1-4,11-15 example 52 claim 1 ----	1-10
A	WO 02 50077 A (HENRICH MARIELOUISE ;MAULER-MACHNIK ASTRID (DE); HILGERS PETRA (DE) 27 June 2002 (2002-06-27) claim 1 ----	1-10
P,X	WO 02 094020 A (KUGLER MARTIN ;KUHN DIETMAR (DE); RIECK HEIKO (DE); BAYER AG (DE)) 28 November 2002 (2002-11-28) claim 1 -----	1-10

# INTERNATIONAL SEARCH REPORT

International application No.  
PCT/EP 03/12276

## Box I Observations where certain claims were found unsearchable (Continuation of item 1 of first sheet)

This International Search Report has not been established in respect of certain claims under Article 17(2)(a) for the following reasons:

1. ☐ Claims Nos.:  
because they relate to subject matter not required to be searched by this Authority, namely:
2. ☐ Claims Nos.:  
because they relate to parts of the International Application that do not comply with the prescribed requirements to such an extent that no meaningful International Search can be carried out, specifically:
3. ☐ Claims Nos.:  
because they are dependent claims and are not drafted in accordance with the second and third sentences of Rule 6.4(a).

## Box II Observations where unity of invention is lacking (Continuation of item 2 of first sheet)

This International Searching Authority found multiple inventions in this International application, as follows:

see additional sheet

1. ☐ As all required additional search fees were timely paid by the applicant, this International Search Report covers all searchable claims.
2. ☐ As all searchable claims could be searched without effort justifying an additional fee, this Authority did not invite payment of any additional fee.
3. ☒ As only some of the required additional search fees were timely paid by the applicant, this International Search Report covers only those claims for which fees were paid, specifically claims Nos.:  
1(part), 2(part), 3-10
4. ☐ No required additional search fees were timely paid by the applicant. Consequently, this International Search Report is restricted to the invention first mentioned in the claims; it is covered by claims Nos.:

### Remark on Protest

- ☐ The additional search fees were accompanied by the applicant's protest.
- ☒ No protest accompanied the payment of additional search fees.

## FURTHER INFORMATION CONTINUED FROM PCT/ISA/ 210

This International Searching Authority found multiple (groups of) inventions in this international application, as follows:

1. Claims: 1(part),2(part),4-10

Triazolopyrimidine derivatives, when R2= hydrogen, R1= aliphatic group (claim 1,2,4,5);process to make these compounds (claims 6 and 7); their intermediates (claim 8); their fungicidal composition (claim 9) and use of these compounds for controlling phytopathogenic fungi (claim 10).

2. Claims: 1(part),4-10

Triazolopyrimidine derivatives, when R2= hydrogen, R1= cyclic group (claim 1,4 and 5);process to make these compounds (claims 6 and 7); their intermediates (claim 8); their fungicidal composition (claim 9) and use of these compounds for controlling phytopathogenic fungi (claim 10).

3. Claims: 1(part),2(part), 4-10

Triazolopyrimidine derivatives, when R2= aliphatic group, R1= aliphatic group (claim 1,2,4 and 5);process to make these compounds (claims 6 and 7); their intermediates (claim 8); their fungicidal composition (claim 9) and use of these compounds for controlling phytopathogenic fungi (claim 10).

4. Claims: 1(part),4-10

Triazolopyrimidine derivatives, when R2= aliphatic group, R1= cyclic group (claim 1,4 and 5);process to make these compounds (claims 6 and 7); their intermediates (claim 8); their fungicidal composition (claim 9) and use of these compounds for controlling phytopathogenic fungi (claim 10).

5. Claims: 1(part), 4-9

Triazolopyrimidine derivatives, when R2= cyclic group, R1= cyclic group (claim 1,4 and 5);process to make these compounds (claims 6 and 7); their intermediates (claim 8); their fungicidal composition (claim 9) and use of these compounds for controlling phytopathogenic fungi (claim 10).

6. Claims: 1(part), 3-10

Triazolopyrimidine derivatives, when R1 and R2 together form an heterocycle (claim 1,3,4 and 5);process to make these compounds (claims 6 and 7); their intermediates (claim 8); their fungicidal composition (claim 9) and use of these

FURTHER INFORMATION CONTINUED FROM PCT/ISA/ 210

compounds for controlling phytopathogenic fungi (claim 10).

## INTERNATIONAL SEARCH REPORT

International Application No.

PCT/EP 03/12276

Patent document cited in search report		Publication date	Patent family member(s)	Publication date
WO 9846608	A	22-10-1998	AT 239727 T	15-05-2003
			AU 735730 B2	12-07-2001
			AU 6867198 A	11-11-1998
			BG 103805 A	30-06-2000
			BR 9808531 A	23-05-2000
			CA 2287470 A1	22-10-1998
			CN 1104433 B	02-04-2003
			CZ 9903596 A3	17-05-2000
			DE 69814375 D1	12-06-2003
			DE 69814375 T2	24-12-2003
			DK 975635 T3	02-06-2003
			EA 2906 B1	31-10-2002
			EE 9900486 A	15-06-2000
			EP 0975635 A1	02-02-2000
			ES 2199436 T3	16-02-2004
			HU 0001993 A2	28-10-2000
			ID 24182 A	13-07-2000
			IL 132238 A	29-05-2003
			JP 2001520650 T	30-10-2001
			NO 994973 A	13-10-1999
			NZ 500143 A	29-06-2001
			PL 336164 A1	05-06-2000
			PT 975635 T	30-09-2003
			SI 975635 T1	31-10-2003
			SK 141499 A3	11-09-2001
			TR 9902552 T2	22-05-2000
			TW 460476 B	21-10-2001
			WO 9846608 A1	22-10-1998
			ZA 9803054 A	11-10-1999
FR 2765875	A	15-01-1999	FR 2765875 A1	15-01-1999
			JP 11035581 A	09-02-1999
EP 0550113	A	07-07-1993	EP 0550113 A2	07-07-1993
			EP 0782997 A2	09-07-1997
			GR 3033916 T3	30-11-2000
			AT 159256 T	15-11-1997
			AT 192154 T	15-05-2000
			AU 667204 B2	14-03-1996
			AU 3043592 A	01-07-1993
			BR 9205172 A	06-07-1993
			CA 2086404 A1	01-07-1993
			CN 1075144 A , B	11-08-1993
			CN 1141119 A , B	29-01-1997
			DE 69222746 D1	20-11-1997
			DE 69222746 T2	12-02-1998
			DE 69230977 D1	31-05-2000
			DE 69230977 T2	09-11-2000
			DK 550113 T3	09-02-1998
			DK 782997 T3	07-08-2000
			ES 2108727 T3	01-01-1998
			ES 2147411 T3	01-09-2000
			GR 3025920 T3	30-04-1998
			HK 1010105 A1	23-06-2000
			HU 63305 A2	30-08-1993
			IL 104244 A	13-07-1997
			JP 3347170 B2	20-11-2002
			JP 5271234 A	19-10-1993

## INTERNATIONAL SEARCH REPORT

International Application No

PCT/EP 03/12276

Patent document cited in search report		Publication date	Patent family member(s)	Publication date
EP 0550113	A		NZ 245581 A	26-07-1995
			PL 297160 A1	06-09-1993
			PL 171579 B1	30-05-1997
			PT 782997 T	29-09-2000
			RU 2089552 C1	10-09-1997
			SG 47563 A1	17-04-1998
			US 5593996 A	14-01-1997
			ZA 9210043 A	28-07-1993
FR 2784991	A	28-04-2000	FR 2784991 A1	28-04-2000
US 5986135	A	16-11-1999	BR 9904354 A	12-09-2000
			CN 1250052 A	12-04-2000
			EP 0989130 A1	29-03-2000
			JP 2000119275 A	25-04-2000
			KR 2000023437 A	25-04-2000
			US 6204269 B1	20-03-2001
			ZA 9905673 A	30-03-2000
FR 2784381	A	14-04-2000	US 5985883 A	16-11-1999
			FR 2784381 A1	14-04-2000
			JP 2000103790 A	11-04-2000
			US 6242451 B1	05-06-2001
EP 0071792	A	16-02-1983	DE 3130633 A1	17-02-1983
			AT 11539 T	15-02-1985
			AU 553663 B2	24-07-1986
			AU 8665982 A	10-02-1983
			CA 1180329 A1	01-01-1985
			CS 226748 B2	16-04-1984
			DD 202093 A5	31-08-1983
			DE 3262143 D1	14-03-1985
			DK 341682 A ,B,	02-02-1983
			EP 0071792 A2	16-02-1983
			GR 76193 A1	03-08-1984
			HU 188325 B	28-04-1986
			IE 53269 B1	28-09-1988
			JP 1634879 C	20-01-1992
			JP 2061955 B	21-12-1990
			JP 58043974 A	14-03-1983
			US 4567263 A	28-01-1986
			ZA 8205498 A	27-07-1983
WO 0250077	A	27-06-2002	DE 10063115 A1	27-06-2002
			AU 3167602 A	01-07-2002
			WO 0250077 A2	27-06-2002
			EP 1349859 A2	08-10-2003
WO 02094020	A	28-11-2002	DE 10124208 A1	21-11-2002
			CZ 20033129 A3	17-03-2004
			EE 200300538 A	16-02-2004
			WO 02094020 A1	28-11-2002
			EP 1395117 A1	10-03-2004
			NO 20035012 A	11-11-2003
			NZ 529567 A	19-12-2003
			US 2002198222 A1	26-12-2002